



MAIL STOP - PCT
Docket No.: 27480U

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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Inventor: BUHR
Appl. No.: 10/586,613
Appl. Filing Date: July 19, 2006
Intl. Appl. No.: PCT/EP2005/050300
Intl. Appl. Filing Date: January 25, 2005
For: **1,2,4-TRIAZOLO[1,5-A]PYRIDINES AS GASTRIC ACID SECRETION
INHIBITORS**

Art Unit: XX
Examiner: XX
Confirm. No.: XX

TRANSMITTAL LETTER

Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Sir:

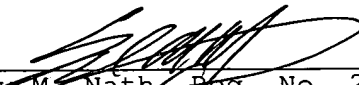
Submitted herewith for filing in the U.S. Patent and Trademark Office is the following:

1. Submission of Documents to Supplement Filing Documents under 35 USC 371;
2. PCT/IB/373 (International Preliminary Report on Patentability); and
3. PCT/ISA/237 (Written Opinion of the International Searching Authority).

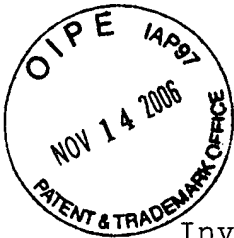
The Commissioner is hereby authorized to charge any deficiency or credit any excess to Deposit Account Number 14-0112.

Respectfully submitted,
NATH & ASSOCIATES PLLC

November 14, 2006


Gary M. Nath, Reg. No. 26,965
Sheldon M. McGee, Reg. No. 50,454
Customer No. 34375

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SUBMISSION OF DOCUMENTS
TO SUPPLEMENT FILING DOCUMENTS UNDER 35 USC 371

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:


In order to supplement the filing documents for the national phase filing Under USC 371 commenced on July 19, 2006, applicant now submits the following documents:

1. PCT/IB/373 (International Preliminary Report on Patentability); and
2. PCT/ISA/237 (Written Opinion of the International Searching Authority).

Please charge any deficiency or credit any overpayment to our Deposit Account Number 14-0112.

Respectfully submitted,
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PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 1215WOORD01	FOR FURTHER ACTION	See item 4 below
International application No. PCT/EP2005/050300	International filing date (<i>day/month/year</i>) 25 January 2005 (25.01.2005)	Priority date (<i>day/month/year</i>) 26 January 2004 (26.01.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant ALTANA PHARMA AG		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 9 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | |
|--|---|
| <input checked="" type="checkbox"/> Box No. I | Basis of the report |
| <input type="checkbox"/> Box No. II | Priority |
| <input checked="" type="checkbox"/> Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> Box No. VI | Certain documents cited |
| <input type="checkbox"/> Box No. VII | Certain defects in the international application |
| <input checked="" type="checkbox"/> Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Date of issuance of this report 27 July 2006 (27.07.2006)
	Authorized officer Ellen Moyse e-mail: pt05@wipo.int

REC'D 20 JUL 2005

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To:

see form PCT/ISA/220

4/8

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY
(PCT Rule 43bis.1)

Date of mailing

(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION

See paragraph 2 below

International application No.
PCT/EP2005/050300

International filing date (day/month/year)
25.01.2005

Priority date (day/month/year)
26.01.2004

International Patent Classification (IPC) or both national classification and IPC
C07D471/04, A61K31/437, A61P1/04

Applicant
ALTANA PHARMA AG

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☒ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☒ Box No. VIII Certain observations on the international application

2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



European Patent Office
D-80298 Munich
Tel. +49 89 2399 - 0 Tx: 523656 epmu d
Fax: +49 89 2399 - 4465

Authorized Officer

Stroeter, T

Telephone No. +49 89 2399-8088



Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
 - ☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material:
 - ☐ a sequence listing
 - ☐ table(s) related to the sequence listing
 - b. format of material:
 - ☐ in written format
 - ☐ in computer readable form
 - c. time of filing/furnishing:
 - ☐ contained in the international application as filed.
 - ☐ filed together with the international application in computer readable form.
 - ☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 11

because:

☒ the said international application, or the said claims Nos. 11 relate to the following subject matter which does not require an international preliminary examination (*specify*):

see separate sheet

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the whole application or for said claims Nos.

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ See separate sheet for further details

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2005/050300

**Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or
Industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-11
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-11
Industrial applicability (IA)	Yes: Claims	1-10
	No: Claims	

2. Citations and explanations

see separate sheet

Box No. VIII Certain observations on the International application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 11 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1 (iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(i) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1 Subject-matter of the present application

The present application is directed to certain gastric acid secretion inhibitors having a 1,2,4-triazolopyridine system substituted with arylmethylamino at C-8. Such compounds are useful in the treatment of ulcer and other gastrointestinal diseases.

2 Prior art documents

Reference is made to the following documents (concerning D2-D7 see item VI):

D1: US-A-4 358 454 (BRISTOL JAMES A ET AL) 9 November 1982

D2: WO 99/55705 A (DAHLSTROEM MIKAEL ; AMIN KOSRAT (SE); ASTRA AB (SE); NORDBERG PETER (S) 4 November 1999

D3: WO 99/55706 A - see D2

D4: WO 00/11000 A (DAHLSTROEM MIKAEL ; AMIN KOSRAT (SE); ASTRA AB (SE); NORDBERG PETER (S) 2 March 2000

D5: WO 03/018582 A (DAHLSTROEM MIKAEL ; AMIN KOSRAT (SE); ASTRAZENECA AB (SE); NORDBERG PE) 6 March 2003

D6: WO 01/17999 A (HOFFMANN LA ROCHE) 15 March 2001

3 Novelty (Article 33(2) PCT)

The present triazolopyridine compounds are novel over those of D1: They represent (partly) a novel selection of the content of D1 since no specific novelty-destroying example compounds were to be found. The triazolopyridine compounds of D6 differ from the presently claimed compounds via the substituents in 2, 6 and/or 8-position. D2 to D5 disclose isosteric imidazopyridine compounds and thus are not novelty-destroying.

Therefore present claim 1 and further claims 2-11 are novel.

4 Inventive step (Article 33(3) PCT)

The structurally closest prior art compound 2-methyl-8-phenylmethanamino-1,3,4-triazolo[1,5-a]pyridine having the same pharmaceutical activity and application as the presently claimed compounds can be found in D1 with the only structural difference to the embodiments of D1 being that present R2 cannot represent hydrogen.

However, as stated above, the present subject-matter is a selection of compounds falling under the scope of D1 which represents the closest prior art. The problem to be solved by the present invention may therefore be considered as the provision of further gastric acid secretion inhibitors having a 1,2(3?),4-triazolo[1,5-a]pyridine system substituted with arylmethylanino at C-8 suitable for in the treatment of ulcer and other gastrointestinal diseases.

The solution proposed by the Applicant is already generally disclosed in D1 although not explicitly described. The mere choice of a restricted substitution pattern leading to a more specific group of compounds within a group of compounds known for the same pharmacological activity cannot be considered inventive. This is even more the case in view of either D2, D3, D4 or D5 which all disclose bioisosteric imidazopyridines (i.e. the only structural difference is C instead of N in the condensed ring system) having the same kind of substitution pattern (!) and possess the same pharmaceutical activity and application as the presently claimed compounds.

Therefore, the problem to be solved is to be reformulated as the provision of further gastric acid secretion inhibitors with unexpected properties, e.g. a better gastric acid secretion inhibition activity over the closest prior art. No comparative test(s) with the structurally closest prior art compound (in the present case the example compound of D1) which would support the involvement of an inventive step have been provided so that it is at present not apparent whether the problem has been solved or not. Thus, the present claims are not inventive.

5 Industrial applicability (Article 33(4) PCT)

The subject-matter of the present claims 1-10 is in accordance with the requirements of Article 33(4) PCT.

For the assessment of the present claim 11 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Re Item VIII

Certain observations on the international application

(1) During the Search no reasons for the inclusion of the provisos in present claims 1 and 2 could be found. The Applicant should have stated any documents known to him reflecting the excluded subject-matter.

(2) In contrast to D1 the presently claimed compounds are named as 1,2,4-triazolopyridines and not 1,3,4-triazolopyridines. Please note that the 2-position is a C-atom substituted with present R1. The Applicant is asked to verify the nomenclature of the present compounds.

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/EP2005/050300